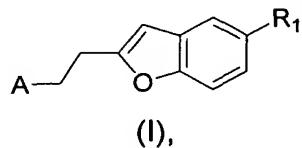


WHAT IS CLAIMED IS:

1. A process for preparing a compound of formula (I)



5

or a salt thereof, wherein

A is heterocycle selected from pyrrolidinyl or piperidinyl, wherein the heterocycle is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkyl and fluoroalkyl; and

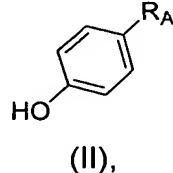
10

R₁ is 4-cyanophenyl, aryl, or heteroaryl, wherein the phenyl of 4-cyanophenyl, the aryl, or the heteroaryl is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl;

the process comprising the steps of:

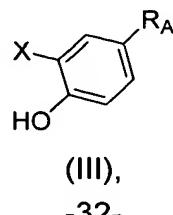
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- (1a) treating a compound of formula (II)



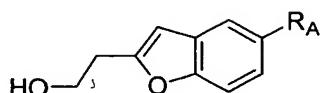
20

wherein R_A is selected from the group consisting of bromo, chloro, 4-cyanophenyl, aryl, and heteroaryl, and the phenyl portion of the 4-cyanophenyl, the aryl, and the heteroaryl are substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl, with a halogenating reagent selected from the group consisting of N-bromosuccinimide, N-iodosuccinimide, N-iodoacetamide, N-bromoacetamide, N-iodophthalimide, N-bromophthalimide, iodine, bromine, ICl, IBr, BrCl, and an alkaline iodide or bromide with an oxidant to provide a compound of formula (III)



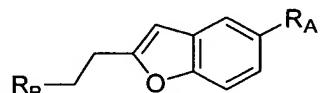
wherein X is Br or I;

(1b) treating the compound of formula (III) with 3-butyn-1-ol to provide a compound of formula (IV)



5 (IV);

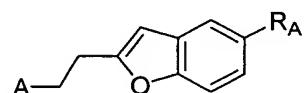
(1c) treating the compound of formula (IV) with a sulfonating reagent to provide a compound of formula (V),



(V);

10 wherein R_B is toluenesulfonate, methanesulfonate, or trifluoromethansulfonate, and

(1d) treating the compound of formula (V) with an amine reagent, selected from the group consisting of pyrrolidinyl and piperidinyl, wherein the pyrrolidinyl or piperidinyl is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkyl and fluoroalkyl, to provide the compound of formula (VI);



15 (VI);

wherein A is as defined above for a compound of formula (I), and

(1e) further treating the compound of formula (V), wherein R_A is bromo, with a compound of formula (VIII),

20 (HO)₂B-R₁

(VIII),

or a compound of formula (VIII-a),

(R_eO)(R_fO)B-R₁

25 (VIII-a),

wherein R₁ is 4-cyanophenyl, aryl, or heteroaryl, wherein the phenyl of 4-cyanophenyl, the aryl, or the heteroaryl is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl; and R_e and R_f are each

independently alkyl or R_e and R_f are taken together to form a ring, wherein the ring is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkyl or aryl.

5 2. The process according to claim 1 further comprising treating the compound of formula (I) with an acid to provide a salt.

10 3. The process according to claim 2 wherein the acid is (L)-tartaric acid.

15 4. The process according to claim 1 wherein R_1 is 4-cyanophenyl.

20 5. The process according to claim 1 wherein A is (2R)-2-methylpyrrolidinyl.

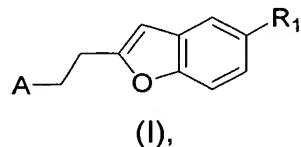
25 6. The process according to claim 1 wherein A is (2R)-2-methylpyrrolidinyl and R_1 is 4-cyanophenyl.

30 7. The process according to claim 1 wherein the halogenating agent in step (1a) is N-iodosuccinimide or N-bromosuccinimide.

35 8. The process according to claim 1 wherein the sulfonating reagent in step (1c) is selected from the group consisting of para-toluenesulfonyl chloride, para-toluenesulfonic anhydride, methane sulfonic anhydride, methane sulfonyl chloride, and triflic anhydride.

40 9. The process according to claim 8 wherein the sulfonating reagent in step (1c) is para-toluenesulfonyl chloride or para-toluenesulfonic anhydride.

45 10. A process for preparing a compound of formula (I)



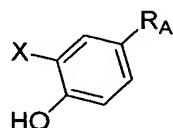
or a salt thereof, wherein

A is heterocyclic group selected from pyrrolidinyl or piperidinyl, wherein the heterocycle is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkyl and fluoroalkyl; and

5 R₁ is 4-cyanophenyl, aryl, or heteroaryl, wherein the phenyl of 4-cyanophenyl, the aryl, or the heteroaryl is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl;

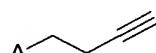
the process comprising the steps of:

10 (9a) treating a compound of formula III



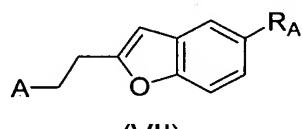
(III),

15 with a compound of formula (VI)



(VI),

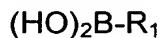
wherein A is a heterocyclic group selected from the group consisting of pyrrolidinyl and piperidinyl, said heterocyclic group substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkyl and fluoroalkyl, to provide a compound of 20 formula (VII)



(VII);

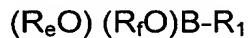
wherein R_A is selected from the group consisting of bromo, chloro, 4-cyanophenyl, 25 aryl, and heteroaryl, wherein the phenyl portion of 4-cyanophenyl or the heteroaryl group is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl; and

(9b) further treating the compound of formula (VII), wherein R_A is bromo or chloro, with a compound of formula (VIII),



(VIII),

5 or a compound of formula (VIII-a)



(VIII-a),

wherein R₁ is 4-cyanophenyl, aryl, or heteroaryl, wherein the phenyl of 4-cyanophenyl, the aryl, or the heteroaryl is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl; and R_e and R_f are each independently alkyl or R_e and R_f are taken together to form a ring, wherein the ring is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkyl or aryl.

15

11. The process according to claim 10 further comprising treating the compound of formula (I) with an acid to provide a salt.

20 12. The process according to claim 11 wherein the acid is (L)-tartaric acid.

13. The process according to claim 10 wherein R₁ is 4-cyanophenyl.

25 14. The process according to claim 10 wherein A is (2R)-2-methylpyrrolidinyl.

15. The process according to claim 10 wherein A is (2R)-2-methylpyrrolidine and R₁ is 4-cyanophenyl.

30 16. A process for preparing 4-(2-{2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl}-1-benzofuran-5-yl)benzonitrile (L)-tartrate comprising the steps of:

(16a) treating 4'-hydroxy-1,1'-biphenyl-4-carbonitrile with N-iodosuccinimide and an acid to provide 4'-hydroxy-3'-iodo-1,1'-biphenyl-4-carbonitrile;

(16b) treating 4'-hydroxy-3'-iodo-1,1'-biphenyl-4-carbonitrile with 3-butyn-1-ol, a palladium source, a phosphine, a metal halide, and a first base to provide 4-[2-(2-hydroxyethyl)-1-benzofuran-5-yl]benzonitrile;

5 (16c) reacting 4-[2-(2-hydroxyethyl)-1-benzofuran-5-yl]benzonitrile with sulfonylating reagent and N,N-dimethylaminopyridine, in the presence of a second base to provide 2-[5-(4-cyanophenyl)-1-benzofuran-2-yl]ethyl 4-methylbenzenesulfonate; and

10 (16d) treating 2-[5-(4-cyanophenyl)-1-benzofuran-2-yl]ethyl 4-methylbenzenesulfonate with (2R)-2-methylpyrrolidine to provide 4-(2-{2-[(2R)-2-methyl-1-pyrrolidinyl]ethyl}-1-benzofuran-5-yl)benzonitrile.

17. The process according to claim 16 wherein the acid in step (16a) is sulfuric acid.

15 18. The process according to claim 16 wherein the palladium source in step (16b) is palladium (II) acetate, the phosphine in step (16b) is triphenylphosphine, the metal halide in step (16b) is copper(I) iodide, and the first base in step (16b) is diisopropylamine.

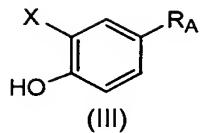
20 19. The process according to claim 18 wherein step 16(b) of claim 16 is accomplished in an isopropyl acetate solvent.

25 20. The process according to claim 16 wherein the sulfonating reagent in step (16c) is para-toluenesulfonyl chloride and the second base in step (16c) is triethylamine.

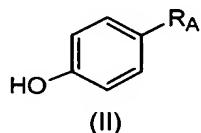
21. The process according to claim 20 wherein step 16(c) of claim 16 is accomplished in an acetonitrile solvent.

30 22. The process according to claim 16 wherein step (16d) is accomplished in the presence of potassium carbonate and in an acetonitrile solvent.

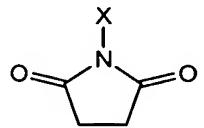
23. A process of preparing a compound of the formula:



wherein X is bromo or iodo and R_A is selected from the group consisting of bromo, chloro, 4-cyanophenyl, aryl, and heteroaryl, wherein the phenyl portion of 4-cyanophenyl, the aryl, or the heteroaryl group is substituted with 0, 1, 2, 3, or 4 substituents selected from the group consisting of alkoxy, alkoxyalkyl, alkyl, alkylthio, alkylthioalkyl, cyano, haloalkoxy, halogen, and haloalkyl, comprising the step of treating a compound of the formula (II)



wherein R_A is as previously defined, with a halogenating reagent of the formula:



wherein X is bromo or iodo to provide a compound of the formula (I).

24. The process according to claim 23 wherein the halogenating reagent is

N-iodosuccinimide.

25. Compounds prepared according to the process of claims 1, 2, 10, 11, 16, and 23.